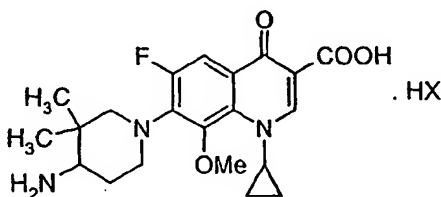


We claim:

1. A polymorph of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride, R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride, S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride and racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate, R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate, S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate having the formula I and II respectively



Formula I HX = HCl
Formula II HX = CH₃SO₃H

wherein said polymorph is selected from the group comprising

- a) a racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride polymorph A-3 exhibiting the following X-ray diffraction pattern
(2 θ): 5.32 \pm 0.2°, 5.68 \pm 0.2°, 9.42 \pm 0.2°, 10.06 \pm 0.2°, 10.40 \pm 0.2°, 11.40 \pm 0.2°, 11.78 \pm 0.2°, 12.98 \pm 0.2°, 13.74 \pm 0.2°, 14.38 \pm 0.2°, 14.66 \pm 0.2°, 16.02 \pm 0.2°, 22.52 \pm 0.2°, 23.74 \pm 0.2°, 24.48 \pm 0.2°, 25.22 \pm 0.2°, 27.36 \pm 0.2°, 28.74 \pm 0.2°, 31.28 \pm 0.2°, 31.72 \pm 0.2°.

- b) a R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride polymorph A-3 exhibiting the following X-ray diffraction pattern
(2 θ): 5.34 \pm 0.2°, 5.70 \pm 0.2°, 9.46 \pm 0.2°, 10.08 \pm 0.2°, 10.44 \pm 0.2°, 11.42 \pm 0.2°, 11.82 \pm 0.2°, 12.86 \pm 0.2°, 13.62 \pm 0.2°, 14.26 \pm 0.2°, 14.72 \pm 0.2°, 16.08 \pm 0.2°, 22.16 \pm 0.2°, 23.68 \pm 0.2°, 24.18 \pm 0.2°, 24.86 \pm 0.2°, 25.98 \pm 0.2°, 27.04 \pm 0.2°, 28.84 \pm 0.2°, 31.56 \pm 0.2°, 31.84 \pm 0.2°.
- c) a S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride polymorph A-3 exhibiting the following X-ray diffraction pattern
(2 θ): 7.04 \pm 0.2°, 7.70 \pm 0.2°, 8.06 \pm 0.2°, 12.34 \pm 0.2°, 12.78 \pm 0.2°, 13.64 \pm 0.2°, 15.40 \pm 0.2°, 16.14 \pm 0.2°, 18.62 \pm 0.2°, 19.40 \pm 0.2°, 20.64 \pm 0.2°, 21.84 \pm 0.2°, 23.22 \pm 0.2°, 26.80 \pm 0.2°, 27.88 \pm 0.2°, 29.86 \pm 0.2°, 32.30 \pm 0.2°, 33.36 \pm 0.2°, 37.02 \pm 0.2°, 39.24 \pm 0.2°.
- d) a S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride polymorph A-4 exhibiting the following X-ray diffraction pattern
(2 θ): 5.34 \pm 0.2°, 5.68 \pm 0.2°, 9.48 \pm 0.2°, 10.08 \pm 0.2°, 10.44 \pm 0.2°, 11.42 \pm 0.2°, 11.84 \pm 0.2°, 12.86 \pm 0.2°, 13.62 \pm 0.2°, 14.24 \pm 0.2°, 14.74 \pm 0.2°, 16.08 \pm 0.2°, 22.16 \pm 0.2°, 24.14 \pm 0.2°, 24.82 \pm 0.2°, 25.94 \pm 0.2°, 27.02 \pm 0.2°, 28.84 \pm 0.2°, 31.82 \pm 0.2°.
- e) a racemic-(\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-1 exhibiting the following X-ray diffraction pattern
(2 θ): 5.80 \pm 0.2°, 8.08 \pm 0.2°, 9.08 \pm 0.2°, 12.92 \pm 0.2°, 14.70 \pm 0.2°, 16.48 \pm 0.2°, 17.40 \pm 0.2°, 18.36 \pm 0.2°, 18.74 \pm 0.2°, 19.60 \pm 0.2°, 20.44 \pm 0.2°, 20.94 \pm 0.2°, 21.50 \pm 0.2°, 22.80 \pm 0.2°, 23.28 \pm 0.2°, 23.84 \pm 0.2°, 24.36 \pm 0.2°, 25.50 \pm 0.2°, 26.00 \pm 0.2°, 26.78 \pm 0.2°, 27.24 \pm 0.2°, 29.22 \pm 0.2°, 30.66 \pm 0.2°, 37.58 \pm 0.2°.

- f) a R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-1 exhibiting the following X-ray diffraction pattern

(2 θ): 5.74 \pm 0.2°, 8.02 \pm 0.2°, 9.02 \pm 0.2°, 12.84 \pm 0.2°, 14.74 \pm 0.2°, 16.46 \pm 0.2°, 17.32 \pm 0.2°, 18.38 \pm 0.2°, 19.58 \pm 0.2°, 20.38 \pm 0.2°, 20.92 \pm 0.2°, 21.48 \pm 0.2°, 22.80 \pm 0.2°, 23.80 \pm 0.2°, 24.28 \pm 0.2°, 25.62 \pm 0.2°, 26.88 \pm 0.2°, 27.32 \pm 0.2°, 28.20 \pm 0.2°, 29.16 \pm 0.2°, 30.68 \pm 0.2°.

- g) a S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-1 exhibiting the following X-ray diffraction pattern

X-ray powder diffraction (2 θ): 8.02 \pm 0.2°, 12.84 \pm 0.2°, 14.70 \pm 0.2°, 16.44 \pm 0.2°, 17.30 \pm 0.2°, 19.56 \pm 0.2°, 20.90 \pm 0.2°, 21.46 \pm 0.2°, 23.76 \pm 0.2°, 25.56 \pm 0.2°, 27.30 \pm 0.2°, 30.66 \pm 0.2°, 37.46 \pm 0.2°.

- h) a racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-2 exhibiting the following X-ray diffraction pattern

(2 θ): 9.40 \pm 0.2°, 9.94, 10.74 \pm 0.2°, 12.32 \pm 0.2°, 12.98 \pm 0.2°, 14.02 \pm 0.2°, 15.72 \pm 0.2°, 16.92 \pm 0.2°, 18.84 \pm 0.2°, 19.38 \pm 0.2°, 20.52 \pm 0.2°, 21.20 \pm 0.2°, 22.80, 22.96 \pm 0.2°, 24.64 \pm 0.2°, 25.54 \pm 0.2°, 28.38 \pm 0.2°, 29.92 \pm 0.2°, 30.72 \pm 0.2°, 35.92, 37.88 \pm 0.2°.

- i) a R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-2 exhibiting the following X-ray diffraction pattern

(2 θ): 8.04 \pm 0.2°, 9.36 \pm 0.2°, 10.06 \pm 0.2°, 10.84 \pm 0.2°, 12.24 \pm 0.2°, 12.88 \pm 0.2°, 13.94 \pm 0.2°, 15.26 \pm 0.2°, 15.76 \pm 0.2°, 16.82 \pm 0.2°, 17.16 \pm 0.2°, 18.78 \pm 0.2°, 19.62 \pm 0.2°, 20.42 \pm 0.2°, 21.22 \pm 0.2°, 22.30 \pm 0.2°, 23.16 \pm 0.2°, 24.26 \pm 0.2°, 24.62 \pm 0.2°, 25.54 \pm 0.2°, 28.38 \pm 0.2°, 30.00 \pm 0.2°, 30.84 \pm 0.2°, 38.18 \pm 0.2°.

- j) a S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-2 exhibiting the following X-ray diffraction pattern

(2 θ): 9.38 \pm 0.2°, 10.04 \pm 0.2°, 12.28 \pm 0.2°, 12.94 \pm 0.2°, 13.98 \pm 0.2°, 15.78 \pm 0.2°, 16.86 \pm 0.2°, 18.80 \pm 0.2°, 19.62 \pm 0.2°, 21.24 \pm 0.2°, 22.32 \pm 0.2°, 23.18 \pm 0.2°, 24.64 \pm 0.2°, 25.56 \pm 0.2°, 28.44 \pm 0.2°, 30.02 \pm 0.2°, 30.90 \pm 0.2°, 39.74 \pm 0.2°.

2. The compound according to claim 1 corresponding to polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
3. The compound according to claim 1 corresponding to polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
4. The compound according to claim 1 corresponding to polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
5. The compound according to claim 1 corresponding to polymorph A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
6. The compound according to claim 1 corresponding to polymorph B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
7. The compound according to claim 1 corresponding to polymorph B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
8. The compound according to claim 1 corresponding to polymorph B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.

9. The compound according to claim 1 corresponding to polymorph B-2 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
- 5 10. The compound according to claim 1 corresponding to polymorph B-2 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
- 10 11. The compound according to claim 1 corresponding to polymorph B-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
12. A process for preparing polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern
- 15 (2 θ): $5.32 \pm 0.2^\circ$, $5.68 \pm 0.2^\circ$, $9.42 \pm 0.2^\circ$, $10.06 \pm 0.2^\circ$, $10.40 \pm 0.2^\circ$, $11.40 \pm 0.2^\circ$, $11.78 \pm 0.2^\circ$, $12.98 \pm 0.2^\circ$, $13.74 \pm 0.2^\circ$, $14.38 \pm 0.2^\circ$, $14.66 \pm 0.2^\circ$, $16.02 \pm 0.2^\circ$, $22.52 \pm 0.2^\circ$, $23.74 \pm 0.2^\circ$, $24.48 \pm 0.2^\circ$, $25.22 \pm 0.2^\circ$, $27.36 \pm 0.2^\circ$, $28.74 \pm 0.2^\circ$, $31.28 \pm 0.2^\circ$, $31.72 \pm 0.2^\circ$.
- 20 which process comprises the steps of
- a) drying polymorphic A-1 form of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C , optionally under reduced pressure sufficient to effect transformation to polymorphic form A-3; and
- 25 b) recovering the polymorphic form A-3 as a crystalline solid.
13. A process for preparing polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride, exhibiting the X-ray diffraction pattern
- 30 (2 θ): $5.32 \pm 0.2^\circ$, $5.68 \pm 0.2^\circ$, $9.42 \pm 0.2^\circ$, $10.06 \pm 0.2^\circ$, $10.40 \pm 0.2^\circ$, $11.40 \pm 0.2^\circ$, $11.78 \pm 0.2^\circ$, $12.98 \pm 0.2^\circ$, $13.74 \pm 0.2^\circ$, $14.38 \pm 0.2^\circ$, $14.66 \pm 0.2^\circ$, $16.02 \pm 0.2^\circ$, $22.52 \pm 0.2^\circ$, $23.74 \pm 0.2^\circ$, $24.48 \pm 0.2^\circ$, $25.22 \pm 0.2^\circ$, $27.36 \pm 0.2^\circ$, $28.74 \pm 0.2^\circ$, $31.28 \pm 0.2^\circ$, $31.72 \pm 0.2^\circ$.

which process comprises the steps of :

- a) drying polymorphic A-2 form of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C, optionally under reduced pressure sufficient to effect transformation to polymorphic form A-3; and
- b) recovering the polymorphic form A-3 as a crystalline solid.

14. A process for preparing polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern

(2 θ): 5.34 \pm 0.2°, 5.70 \pm 0.2°, 9.46 \pm 0.2°, 10.08 \pm 0.2°, 10.44 \pm 0.2°, 11.42 \pm 0.2°, 11.82 \pm 0.2°, 12.86 \pm 0.2°, 13.62 \pm 0.2°, 14.26 \pm 0.2°, 14.72 \pm 0.2°, 16.08 \pm 0.2°, 22.16 \pm 0.2°, 23.68 \pm 0.2°, 24.18 \pm 0.2°, 24.86 \pm 0.2°, 25.98 \pm 0.2°, 27.04 \pm 0.2°, 28.84 \pm 0.2°, 31.56 \pm 0.2°, 31.84 \pm 0.2°.

which process comprises the steps of

- a. drying polymorphic A-1 form of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C, optionally under reduced pressure sufficient to effect transformation to polymorphic form A-3; and
- b. recovering the polymorphic form A-3 as a crystalline solid.

15. A process for preparing polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern

(2 θ): 5.34 \pm 0.2°, 5.70 \pm 0.2°, 9.46 \pm 0.2°, 10.08 \pm 0.2°, 10.44 \pm 0.2°, 11.42 \pm 0.2°, 11.82 \pm 0.2°, 12.86 \pm 0.2°, 13.62 \pm 0.2°, 14.26 \pm 0.2°, 14.72 \pm 0.2°, 16.08 \pm 0.2°, 22.16 \pm 0.2°, 23.68 \pm 0.2°, 24.18 \pm 0.2°, 24.86 \pm 0.2°, 25.98 \pm 0.2°, 27.04 \pm 0.2°, 28.84 \pm 0.2°, 31.56 \pm 0.2°, 31.84 \pm 0.2°.

which process comprises the steps of

- a) drying polymorphic A-2 form of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C,

optionally under reduced pressure sufficient to effect transformation to polymorphic form A-3; and

b) recovering the polymorphic form A-3 as a crystalline solid.

- 5 16. A process for preparing polymorph A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride, exhibiting the X-ray diffraction pattern
- (2 θ): $5.34 \pm 0.2^\circ$, $5.68 \pm 0.2^\circ$, $9.48 \pm 0.2^\circ$, $10.08 \pm 0.2^\circ$, $10.44 \pm 0.2^\circ$, $11.42 \pm 0.2^\circ$, $11.84 \pm 0.2^\circ$, $12.86 \pm 0.2^\circ$, $13.62 \pm 0.2^\circ$, $14.24 \pm 0.2^\circ$, $14.74 \pm 0.2^\circ$, $16.08 \pm 0.2^\circ$, $22.16 \pm 0.2^\circ$, $24.14 \pm 0.2^\circ$, $24.82 \pm 0.2^\circ$, $25.94 \pm 0.2^\circ$, $27.02 \pm 0.2^\circ$, $28.84 \pm 0.2^\circ$, $31.82 \pm 0.2^\circ$.

which process comprises the steps of:

- 15 a) drying polymorphic A-3 form of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C , optionally under reduced pressure sufficient to effect transformation to polymorphic form A-4; and
- b) recovering the polymorphic form A-4 as a crystalline solid.

- 20 17. A process for preparing polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern
- (2 θ): $7.04 \pm 0.2^\circ$, $7.70 \pm 0.2^\circ$, $8.06 \pm 0.2^\circ$, $12.34 \pm 0.2^\circ$, $12.78 \pm 0.2^\circ$, $13.64 \pm 0.2^\circ$, $15.40 \pm 0.2^\circ$, $16.14 \pm 0.2^\circ$, $18.62 \pm 0.2^\circ$, $19.40 \pm 0.2^\circ$, $20.64 \pm 0.2^\circ$, $21.84 \pm 0.2^\circ$, $23.22 \pm 0.2^\circ$, $26.80 \pm 0.2^\circ$, $27.88 \pm 0.2^\circ$, $29.86 \pm 0.2^\circ$, $32.30 \pm 0.2^\circ$, $33.36 \pm 0.2^\circ$, $37.02 \pm 0.2^\circ$, $39.24 \pm 0.2^\circ$.

25 which process comprises the steps of

- a) suspending or dissolving polymorphic form A-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride in water, if necessary by heating;
- b) stirring the mixture to form a suspension or a solution followed by adding a water-miscible organic solvent;
- 30 c) recovering the polymorphic form A-3 as a crystal upon cooling the solution and filtering; and
- d) drying resultant crystals to constant weight to provide the polymorph A-3.

18. A process for preparing polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern

(2 θ): 7.04 \pm 0.2°, 7.70 \pm 0.2°, 8.06 \pm 0.2°, 12.34 \pm 0.2°, 12.78 \pm 0.2°, 13.64 \pm 0.2°, 15.40 \pm 0.2°, 16.14 \pm 0.2°, 18.62 \pm 0.2°, 19.40 \pm 0.2°, 20.64 \pm 0.2°, 21.84 \pm 0.2°, 23.22 \pm 0.2°, 26.80 \pm 0.2°, 27.88 \pm 0.2°, 29.86 \pm 0.2°, 32.30 \pm 0.2°, 33.36 \pm 0.2°, 37.02 \pm 0.2°, 39.24 \pm 0.2°.

which process comprises the steps of:

- a) suspending or dissolving polymorphic form A-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride in water, if necessary by heating;
- b) adding a water-miscible organic solvent and stirring resulting mixture for a sufficient period of time to effect the transformation completely to polymorphic form A-3;
- c) recovering the polymorphic form A-3 as a crystal upon cooling the solution and filtering; and
- d) drying the resultant crystals to a constant weight to yield the product A-3..

19. A process for preparing polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride, from said polymorphs A-1 or A-2 or A-4 which process comprises

- a) suspending or dissolving polymorphic form A-1 or A-2 or A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride in water, if necessary by heating;
- b) stirring the mixture at that temperature to form a suspension or a solution followed by adding a water-miscible organic solvent;
- c) recovering the polymorphic form A-3 as a crystal upon cooling the solution and filtering;
- d) drying the resultant crystals to a constant weight to yield the product of the invention.

20. A process for preparing polymorph B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- 5
- a) suspending or dissolving racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid in a suitable organic solvent to form a suspension/solution;
 - b) heating the suspension/solution and adding methane sulfonic acid at the elevated temperature;
 - c) heating the reaction mixture at elevated temperature sufficient to effect transformation to the mesylate polymorphic form B-1;
 - d) recovering the polymorphic form B-1 as a crystal upon cooling the solution and filtering;
 - 10 e) drying crystals to a constant weight to yield the polymorph B-1 of the invention.

21. A process for preparing polymorph B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- 15
- a) suspending or dissolving R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid in a suitable organic solvent to form a suspension/solution;
 - b) heating the suspension/solution and adding methane sulfonic acid at the elevated temperature;
 - 20 c) heating the reaction mixture at elevated temperature sufficient to effect transformation to the mesylate polymorphic form B-1;
 - d) recovering the polymorphic form B-1 as a crystal upon cooling the solution and filtering;
 - 25 e) drying crystals to a constant weight to yield the polymorph B-1 of the invention.

22. A process for preparing polymorph B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- 30
- a) suspending or dissolving (-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid in a suitable organic solvent to form a suspension/solution;
 - b) heating the suspension/solution and adding methane sulfonic acid at the elevated temperature;

- c) heating the reaction mixture at elevated temperature sufficient to effect transformation to the mesylate polymorphic form B-1;
- d) recovering the polymorphic form B-1 as a crystal upon cooling the solution and filtering;
- 5 e) drying crystals to a constant weight to yield the polymorph B-1 of the invention.
23. A process for preparing polymorph B-2 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises
- 10 a) dissolving crystalline polymorphic form B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate in water by heating to form a solution;
- b) cooling the solution and adding an aqueous-miscible organic solvent;
- c) allowing the reaction mixture to stand for a sufficient time to effect transformation to polymorphic form B-2,
- 15 d) recovering the polymorphic form B-2 as a crystal upon cooling and filtering;
- e) drying resultant crystals to a constant weight to yield the polymorph B-2 of the invention.
- 20 24. A process for preparing polymorph B-2 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises
- a) dissolving crystalline polymorphic form B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-
- 25 carboxylic acid mesylate in water by heating to form a solution;
- b) cooling the solution and adding an aqueous-miscible organic solvent;
- c) allowing the reaction mixture to stand for a sufficient time to effect transformation to polymorphic form B-2,
- d) recovering the polymorphic form B-2 as a crystal upon cooling and filtering;
- 30 e) drying resultant crystals to a constant weight to yield the polymorph B-2 of the invention.

- 5
- f) A process for preparing polymorph B-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises
- g) dissolving crystalline polymorphic form B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate in water by heating to form a solution;
- h) cooling the solution and adding an aqueous-miscible organic solvent;
- i) allowing the reaction mixture to stand for a sufficient time to effect transformation to polymorphic form B-2,
- 10 j) recovering the polymorphic form B-2 as a crystal upon cooling and filtering;
- k) drying resultant crystals to a constant weight to yield the polymorph B-2 of the invention.
- 15 25. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of the compound of claim 1.
- 20 26. The method of claim 25 wherein said compound is polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
27. The method of claim 25 wherein said compound is polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
- 25 28. The method of claim 25 wherein said compound is polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
- 30 29. The method of claim 25 wherein said compound is polymorph A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.

30. The method of claim 25 wherein said compound is polymorph B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 5 31. The method of claim 25 wherein said compound is polymorph B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
32. The method of claim 25 wherein said compound is polymorph B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 10 33. The method of claim 25 wherein said compound is polymorph B-2 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 15 34. The method of claim 25 wherein said compound is polymorph B-2 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 20 35. The method of claim 25 wherein said compound is polymorph B-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 25 36. A pharmaceutical composition for treating bacterial infection in a mammal comprising an effective amount of the compound of claim 1 and a pharmaceutically acceptable carrier.
37. The composition of claim 36 wherein said compound is polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride.
- 30 38. The composition of claim 36 wherein said compound is polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride.

39. The composition of claim 36 wherein said compound is polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid hydrochloride.
- 5 40. The composition of claim 36 wherein said compound is polymorph A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid hydrochloride.
- 10 41. The composition of claim 36 wherein said compound is polymorph B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid mesylate.
- 15 42. The composition of claim 36 wherein said compound is polymorph B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid mesylate.
- 20 43. The composition of claim 36 wherein said compound is polymorph B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid mesylate.
- 25 44. The composition of claim 36 wherein said compound is polymorph B-2 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid mesylate.
- 30 45. The composition of claim 36 wherein said compound is polymorph B-2 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid mesylate.
46. The composition of claim 36 wherein said compound is polymorph B-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid mesylate. 47. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 36.

47. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 37.

5 48. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 38.

49. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 39.

10 50. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 40.

51. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 41.

15 52. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 42.

20 53. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 43.

54. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to claim 44.

25 55. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 45.

56. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 46.

30

**This Page is Inserted by IFW Indexing and Scanning
Operations and is not part of the Official Record**

BEST AVAILABLE IMAGES

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images include but are not limited to the items checked:

- ☐ BLACK BORDERS
- ☐ IMAGE CUT OFF AT TOP, BOTTOM OR SIDES
- ☐ FADED TEXT OR DRAWING
- ☐ BLURRED OR ILLEGIBLE TEXT OR DRAWING
- ☐ SKEWED/SLANTED IMAGES
- ☐ COLOR OR BLACK AND WHITE PHOTOGRAPHS
- ☐ GRAY SCALE DOCUMENTS
- ☒ LINES OR MARKS ON ORIGINAL DOCUMENT
- ☐ REFERENCE(S) OR EXHIBIT(S) SUBMITTED ARE POOR QUALITY
- ☐ OTHER: _____

IMAGES ARE BEST AVAILABLE COPY.

As rescanning these documents will not correct the image problems checked, please do not report these problems to the IFW Image Problem Mailbox.